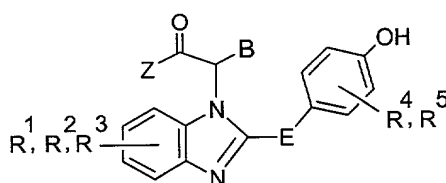
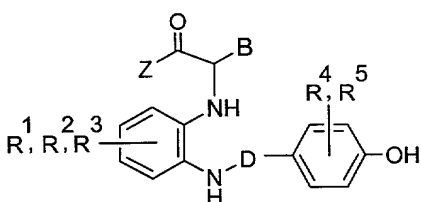
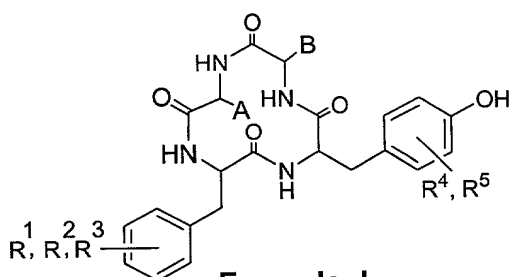


ABSTRACT

The invention relates to new basic amino acid derivatives of general formulae I, II and III, and the preparation and use thereof in treatment of pain. The compounds have histogranin-like antinociceptive, morphine potentiating and COX-2 induction modulating activities.



wherein:

10 A is -hydrogen, -(C₁-C₈)alkyl or -(C₁-C₈)alkyl substituted by hydroxy;

 B is -(C₁-C₆)alkylguanidino,
 -(C₁-C₆)alkyl(4-imidazolyl), -(C₁-C₆)alkylamino,
 p-aminophenylalkyl(C₁-C₆)-, p-guanidinophenylalkyl(C₁-C₆)- or
 15 4-pyridinylalkyl(C₁-C₆)-;

 D is -(CO)-, -(CO)-(C₁-C₆)alkylene or
 -(C₁-C₆)alkylene;

 E is a single bond or -(C₁-C₆)alkylene;

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Z is -NH₂, -NH-(C₁-C₆)alkylcarboxamide,
-NH-(C₁-C₆)alkyl, -NH-(N-benzyl), -NH-cyclo(C₅-C₇)alkyl,
-NH-2-(1-piperidyl)ethyl, -NH-2-(1-pyrrolidyl)ethyl,
-NH-2-(1-pyridyl)ethyl, -NH-2-(morpholino)ethyl,
5 -morpholino, -piperidyl, -OH, -(C₁-C₆)alkoxy, -O-benzyl or
-O-halobenzyl;

R¹, R² and R³ are, independent of one another,
-hydrogen, -arylcarbonylamino, -(C₁-C₆)alkoylamino,
-(C₁-C₆)alkylamino, -(C₁-C₆)alkyloxy,
10 -(C₁-C₆)alkylaminocarbonyl, -carboxy, -OH, -benzoyl,
-p-halogenobenzoyl, -methyl, -S-(2,4-dinitrophenyl),
-S-(3-nitro-2-pyridinesulfonyl), -sulfonyl,
-trifluoromethyl, -(C₁-C₆)alkylaminocarbonylamino, -halo or
-amino;

15 R⁴ and R⁵ are, independent of one another,
-hydrogen, -(C₁-C₆)alkyl, -methyloxy, -nitro, -amino,
-arylcarbonylamino, -(C₁-C₆)alkoylamino, -(C₁-C₆)alkylamino,
-halo or -OH.